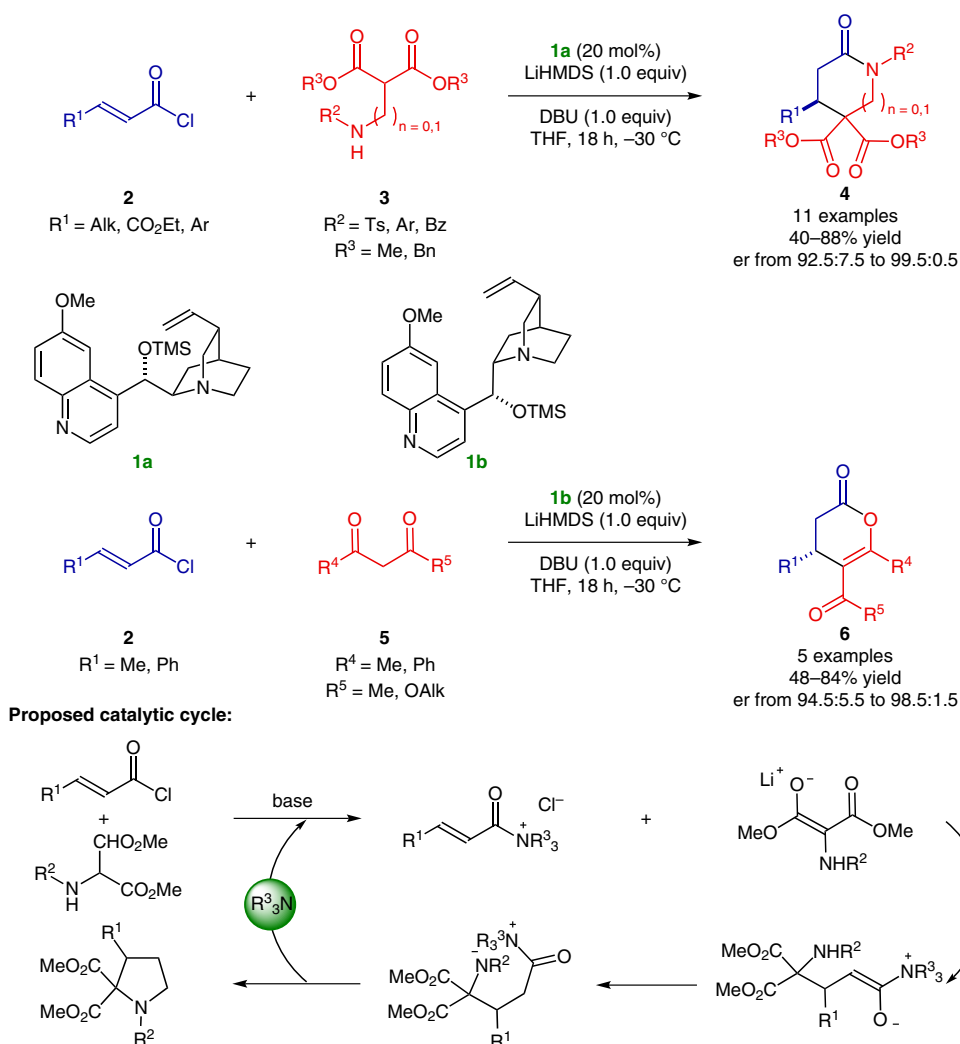


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Direct Catalytic Asymmetric Synthesis of N-Heterocycles from Commodity Acid Chlorides by Employing α,β -Unsaturated Acylammonium Salts
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Synthesis of Pyrrolidinones via α,β -Unsaturated Acylammonium Ions



Significance: An asymmetric enantioselective Michael addition–proton transfer–lactamization or lactonization organocascade reaction is reported. The cinchona alkaloid derived catalysts **1** can generate chiral α,β -unsaturated acylammonium salts with crotonyl chlorides **2**, giving pyrrolidinones, piperid-2-ones, and dihydropyridinones in good yields and high enantioselectivities.

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Comment: In the first step, the lithiated enolate is formed to participate in a conjugate addition to the acylammonium species, which derives from reaction of the chiral tertiary amine (R^3_3N) with the acid chloride. After an intra- or intermolecular proton transfer, the acylammonium species undergoes intramolecular lactamization to regenerate the tertiary amine catalyst R^3_3N . The products could be transformed into known precursors of various biologically active compounds.